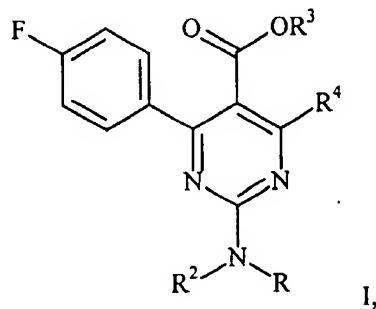


**Patent Claims:**

1. Process for the preparation of compounds of the general formula



5

in which

$\text{R}$  is hydrogen or a group of the formula  $-\text{SO}_2\text{R}^1$ ;

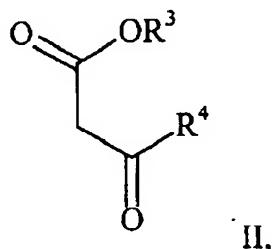
$\text{R}^1$  is  $\text{C}_{1-6}$ -alkyl;

$\text{R}^2$  is hydrogen or  $\text{C}_{1-6}$ -alkyl;

10  $\text{R}^3$  is  $\text{C}_{1-6}$ -alkyl;

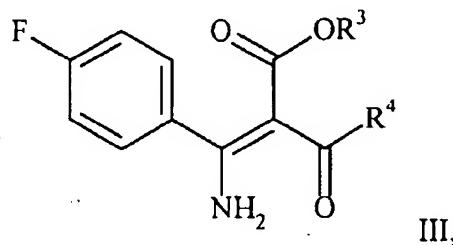
$\text{R}^4$  is  $\text{C}_{1-6}$ -alkyl,

characterized in that, in a first stage, a compound of the general formula



II,

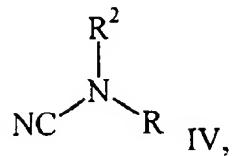
15 in which  $\text{R}^3$  and  $\text{R}^4$  have the abovementioned meaning, is reacted in the presence of a Lewis acid with 4-fluorobenzonitrile to give a compound of the general formula



III,

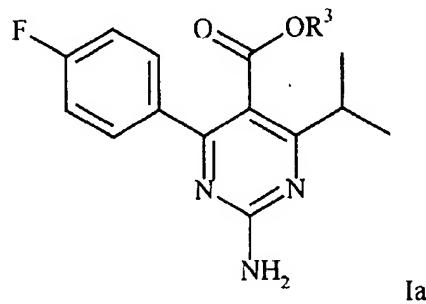
20 in which  $\text{R}^3$  and  $\text{R}^4$  have the abovementioned meaning, and in a second stage the compound of the formula III

obtained is reacted with a compound of the general formula

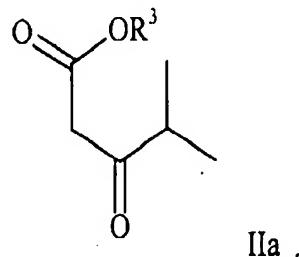


in which R and R<sup>2</sup> have the abovementioned meaning, to  
5 give the final product of the formula I.

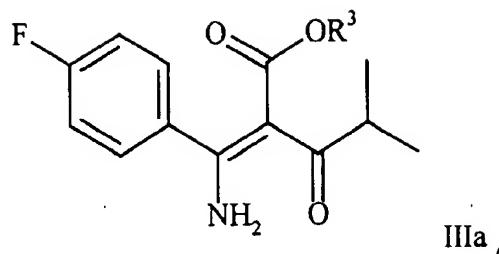
2. Process for the preparation of 2-amino-4-(4-fluorophenyl)-6-isopropylpyrimidine-5-carboxylic acid esters of the general formula



10 in which R<sup>3</sup> has the meaning indicated in Claim 1, characterized in that, in a first stage, an alkyl isobutyrylacetate of the general formula

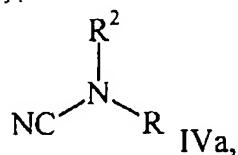


15 in which R<sup>3</sup> has the meaning indicated in Claim 1, is reacted in the presence of a Lewis acid with 4-fluorobenzonitrile to give a 2-[1-amino-1-(4-fluorophenyl)methylene]-4-methyl-3-oxopentanoic acid ester of the general formula



IIIa,

in which  $R^3$  has the meaning mentioned, and in a second stage the compound of the formula IIIa is reacted with cyanamide of the formula



5

in which  $R$  and  $R^2$  are hydrogen, to give the final product of the formula Ia.

3. Process according to Claim 2, characterized in  
10 that  $R^3$  is a methyl group.

4. Process according to Claim 2 or 3,  
characterized in that the Lewis acid employed in the  
first stage is tin tetrachloride.

15

5. Process according to one of Claims 2 to 4,  
characterized in that the first stage is carried out in  
the presence of an organic solvent.

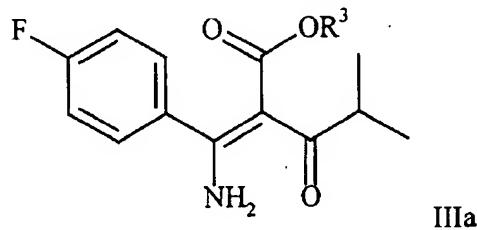
20 6. Process according to one of Claims 2 to 5,  
characterized in that the reaction in the first stage  
is carried out at a temperature from -5 to 140°C.

7. Process according to one of Claims 2 to 6,  
25 characterized in that the second stage is carried out  
in the presence of an organic solvent, a mixture of  
water with an organic solvent or in water.

8. Process according to one of Claims 2 to 7, characterized in that the reaction in the second stage is carried out at a temperature from 10 to 120°C.

5 9. Process according to one of Claims 2 to 8, characterized in that the intermediate of the formula IIIa is isolated.

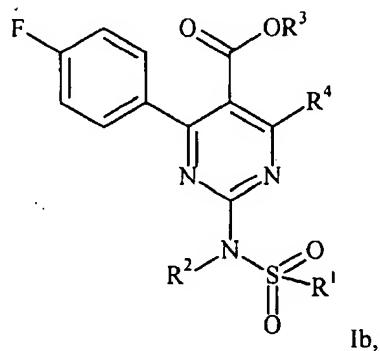
10 10. 2-[1-Amino-1-(4-fluorophenyl)methylene]-4-  
10 methyl-3-oxopentanoic acid esters of the general formula



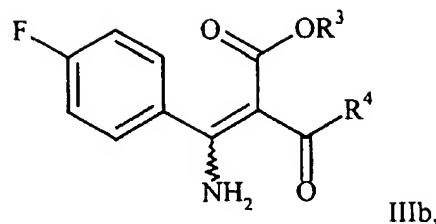
in which R³ has the meaning mentioned in Claim 1.

15 11. Methyl 2-[1-amino-1-(4-fluorophenyl)-methylene]-4-methyl-3-oxopentanoate.

12. Process for the preparation of 4-(4-fluorophenyl)-6-alkyl-2-N-alkansulphonyl-N-alkylamino)-  
20 pyrimidine-5-carboxylic acid esters of the general formula

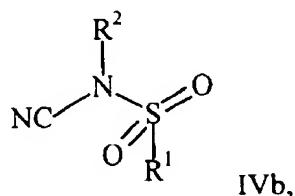


in which R¹, R², R³ and R⁴ are identical or different and are a C<sub>1-6</sub>-alkyl group, characterized in that a 2-[1-amino-1-(4-fluorophenyl)methylene]-4-alkyl-3-oxo-  
25 alkanoic acid ester of the general formula



IIIb,

in which R<sup>3</sup> and R<sup>4</sup> are a C<sub>1-6</sub>-alkyl group, is reacted with an N-cyano-N-alkylalkanesulphonamide, optionally isolated or prepared in situ, of the general formula



IVb,

5

in which R<sup>1</sup> and R<sup>2</sup> are a C<sub>1-6</sub>-alkyl group, to give the final product of the formula Ib.

13. Process according to Claim 12, characterized in  
10 that the reaction is carried out in a polar organic  
solvent in the presence of a base.

14. Process according to Claim 12 or 13,  
characterized in that the reaction is carried out at a  
15 temperature from -10 to 150°C.

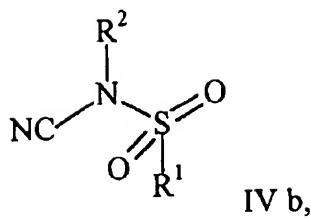
15. Process according to Claim 12, characterized in  
that the reaction is carried out in an inert solvent in  
the presence of a Lewis acid.

20

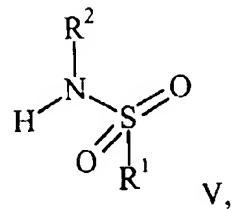
16. Process according to Claim 15, characterized in  
that the reaction is carried out in the presence of  
titanium tetrachloride.

25 17. Process according to Claim 15 or 16,  
characterized in that the reaction is carried out at a  
temperature from 20 to 150°C.

18. Process for the preparation of N-cyano-N-  
30 alkylalkanesulphonamides of the general formula



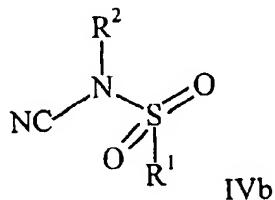
in which R<sup>1</sup> and R<sup>2</sup> are a C<sub>1-6</sub>-alkyl group characterized in that a cyanogen halide is prepared using an N-alkyl-alkanesulphonamide of the general formula



5

in which R<sup>1</sup> and R<sup>2</sup> are a C<sub>1-6</sub>-alkyl group, in the presence of a base.

19. N-Cyano-N-alkylalkanesulphonamides of the  
10 general formula

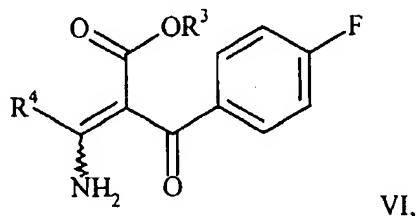


in which R<sup>1</sup> and R<sup>2</sup> are a C<sub>1-6</sub>-alkyl group.

20. N-Cyano-N-methylmethanesulphonamide.

15

21. Process for the preparation of compounds of the general formula I, where R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> have the meaning indicated in Claim 1, characterized in that a compound of the general formula



20

in which R<sup>3</sup> and R<sup>4</sup> have the meaning mentioned in Claim 1, is reacted with a compound of the formula IV.

22. Process for the preparation of a compound of  
5 the general formula Ib, characterized in that a  
compound of the formula VI according to Claim 21 is  
reacted in the presence of a base with a compound of  
the formula IVb in a polar organic solvent at a  
temperature from -5 to 140°C.

10

23. Compounds of the formula VI, in which R<sup>3</sup> and R<sup>4</sup>  
have the meaning mentioned in Claim 1.

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